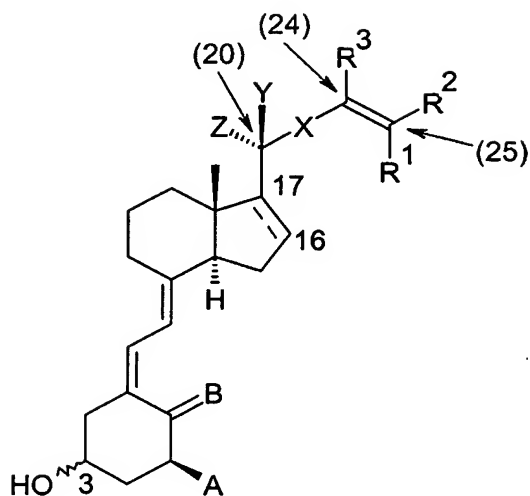


CLAIMS

1. A compound according to formula I



5

I

in which formula

- R1 and R2, which may be the same or different, represent halogen, (C₁-C₆)hydrocarbonyl, optionally substituted with one or two hydroxyl group or one or more fluorine atoms,
- or, together with the carbon atom to which they are both attached, R1 and R2 form a (C₃-C₆)carbocyclic ring,
- or one of R1 and R2 taken together with R3 forms a direct bond, such that a triple bond is constituted,
- or R1 and R2 both represent hydrogen;
- R3 when not forming a direct bond with one of R1 and R2 represents hydrogen or (C₁-C₃)hydrocarbonyl;
- X represents (*E*)-ethylene, (*Z*)-ethylene, ethynylene, or a bond;
- Y and Z independently represent hydrogen or methyl;
- the bond between C#16 and C#17 is depicted with a dotted line to illustrate that said bond may be either a single bond, in which case the projection of the ring substituent is beta, or a double bond;
- A represents hydroxyl, fluorine or hydrogen;
- B represents CH₂ or H₂;
- the configuration in the 3-position corresponds to the same configuration as in natural vitamin D₃ (normal), or the configuration in the 3-position is opposite to natural vitamin D₃ (epi);

- with the proviso that when X represents (*E*)-ethylene or (*Z*)-ethylene, one of R1 and R2 taken together with R3 may not form a direct bond, such that a triple bond is constituted;
- with the further proviso that when X represents a bond R1 and R2 are not hydrogen;
- 5 with the further proviso that the compound of formula I is not 3(*S*)-hydroxy-9,10-secocholesta-5(*Z*),7(*E*),10(19), 22(*E*),24-penta-ene;
and prodrugs and stereo isomeric forms thereof.
2. A compound according to claim 1 wherein R1 and R2 when taken separately,
10 independently represent bromo, chloro, methyl, ethyl, trifluoromethyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 1-propyl, 2-propyl, cyclopropyl, 2-hydroxy-2-propyl, 2-methyl-2-propyl, 3-pentyl or 3-hydroxy-3-pentyl.
3. A compound according to claim 1 wherein R1 and R2 are the same and both
15 represent hydrogen, methyl, ethyl, bromo, chloro, or trifluoromethyl.
4. A compound according to claim 1 wherein R1 and R2 when taken together with the carbon atom to which they are both attached to form a C₃ carbocyclic ring, a C₄ carbocyclic ring, a C₅ carbocyclic ring, or a C₆ carbocyclic ring.
20
5. A compound according to claim 4 wherein R1 and R2 when taken together are ethylene, tri-methylene, tetra-methylene, or penta-methylene, , such as R1 and R2 when taken together with the carbon atom to which they are both attached to form a C₃ carbocyclic ring, a C₄ carbocyclic ring, a C₅ carbocyclic ring, or a C₆ carbocyclic ring.
25
6. A compound according to claim 1 wherein R1 and R2 are a radical obtained by removal of one hydrogen atom from a straight, branched, or cyclic saturated C₁₋₆ hydrocarbon.
- 30 7. A compound according to claim 1-6 wherein A is hydroxyl or fluoro.
8. A compound according to claim 1 wherein R2 constitutes part of a triple bond and R1 represents a branched C₁₋₆hydrocarbyl, optionally substituted by one or two hydroxyl groups.
35
9. A compound according to claim 7 wherein R1 represents -CMe₃, -C(OH)Me₂, or -C(OH)Et₂.

10. A compound according to claim 1 wherein R3 represents hydrogen, methyl or cyclopropyl.
11. A compound according to claim 1 wherein one of R1 and R2 taken together with R3 forms a direct bond, such that a triple bond is constituted.
12. A compound according to claims 1-11 wherein the configuration in the 3-position corresponds to the configuration of natural vitamin D₃ (normal).
13. A compound according to claims 1-11 wherein the configuration in the 3-position corresponds to the opposite configuration to that of natural vitamin D₃ (epi).
14. A compound according to claim 1 selected from the list consisting of
1(S),3(R)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 1),
1(S),3(R)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(Z),24-penta-ene (Compound 2),
20(S),1(S),3(R)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 3),
1(S),3(R)-Dihydroxy-9,10-seco-26,27-cyclo-cholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 4),
20(S),1(S),3(R)-Dihydroxy-9,10-seco-26,27-cyclo-cholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 5),
1(S),3(R)-Dihydroxy-9,10-seco-26,27-methano-cholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 6),
20(S),1(S),3(R)-Dihydroxy-9,10-seco-26,27-methano-cholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 7),
1(S),3(R)-Dihydroxy-20(S)-(4,4-dibromo-1,3-butadien-1yl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 8),
1(S),3(R),26-Trihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24(E)-penta-ene (Compound 9),
20(S),1(S),3(R),26-Trihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24(E)-penta-ene (Compound 10),
1(S),3(R),26-Trihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24(Z)-penta-ene (Compound 11),
20(S),1(S),3(R),26-Trihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24(Z)-penta-ene (Compound 12),

- 1(S),3(R)-Dihydroxy-20(R)-(4-methyl-5-ethyl-5-hydroxy-1(E),3(E)-heptadienyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 13),
 1(S),3(R)-Dihydroxy-20(R)-(3-cyclopropyl-1(E),3-butadienyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 14),
 5 1(S),3(R)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19),24-tetra-ene-22-yne (Compound 15),
 1(S),3(R)-Dihydroxy-20(R)-(5-methyl-5-hydroxy-1,3-hexadiynyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 16),
 1(S),3(R)-Dihydroxy-20(S)-(5-ethyl-5-hydroxy-1,3-heptadiynyl)-9,10-secopregna-10 5(Z),7(E),10(19)-triene (Compound 17),
 1(S),3(R)-Dihydroxy-20(R)-(5-ethyl-5-hydroxy-1,3-heptadiynyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 18),
 1(S),3(R)-Dihydroxy-20(R)-(5,5-dimethyl-1,3-hexadiynyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 19),
 15 1(S),3(R)-Dihydroxy-20(S)-(5,5-dimethyl-1,3-hexadiynyl)-9,10-secopregna-5(Z),7(E),10(19)-triene (Compound 20),
 1(S)-Fluoro-3(R)-hydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 21),
 1(S),3(R)-Dihydroxy-19-nor-9,10-secocholesta-5,7(E),22(E),24-tetra-ene (Compound 20 22),
 1(S),3(S)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19),22(E),24-penta-ene (Compound 23),
 1(S),3(R)-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19),16,22(E),24-hexa-ene (Compound 24),
 25 1(S),3(R)-Dihydroxy-26,26,26,27,27,27-hexafluoro-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 25),
 3(S),26-Dihydroxy-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24(E)-penta-ene (Compound 26),
 1(S),3(R)-Dihydroxy-20(R)-(4,4-dibromo-1,3-butadien-1-yl)-9,10-seco-pregna-30 5(Z),7(E),10(19)-triene (Compound 27),
 1(S),3(R)-Dihydroxy-26,27-dimethyl-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 28),
 1(S),3(S)-Dihydroxy-26,27-dimethyl-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 29),
 35 1(S),3(R)-Dihydroxy-24-methyl-26,27-methano-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 30),
 1(S),3(R)-Dihydroxy-20(R)-(4,4-dichloro-1,3-butadien-1-yl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 31),

- 1(S),3(R)-Dihydroxy-26,27-ethano-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 32),
1(S),3(R)-Dihydroxy-26,27-propano-9,10-secocholesta-5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 33),
5 1(S),3(R)-Dihydroxy-20(S)-cyclopropylidenemethyl-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 34),
1(S),3(R)-Dihydroxy-20(R)-cyclopropylidenemethyl-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 35),
20(S),1(S),3(R)-Dihydroxy-26,26,26,27,27,27-hexafluoro-9,10-secocholesta-
10 5(Z),7(E),10(19), 22(E),24-penta-ene (Compound 36).
15. A compound according to any of claims 1-14 for use in therapy.
16. A pharmaceutical composition comprising a compound according to any of
15 claims 1-14, optionally another therapeutically active compound, and optionally a pharmaceutically acceptable carrier.
17. A composition according to claim 16, wherein said other therapeutically active
20 compound is selected from amongst phosphate binders, steroids and anti-proliferative agents.
18. A method for treatment or prophylaxis of diseases characterised by abnormal
cell differentiation and/or cell proliferation, cancer, leukemia, mammary cancer, brain
glial cancer, osteosarcoma, melanoma, myelofibrosis, psoriasis, primary
25 hyperparathyroidism, diabetes melitus, discoid and systemic lupus erythematosus, chronic dermatoses of autoimmune type, hypertension, acne, alopecia, skin aging, AIDS, neurodegenerative disorders, Alzheimer's disease, host versus graft and graft
versus host reactions, rejections of transplants, steroid induced skin atrophy and
osteoporosis, and inducing osteogenesis, the method comprising administering to a
30 patient in need thereof an effective amount of a compound according to any of claims 1-14, optionally together with another therapeutically active compound.
19. A method for treatment or prophylaxis of secondary hyperparathyroidism, the
method comprising administering to a patient in need thereof an effective amount of a
35 compound according to any of claims 1-14, optionally together with another therapeutically active compound.
20. A method according to claim 18, wherein secondary hyperparathyroidism is associated with renal failure.

21. A method according to any of claims 18-20, wherein said other therapeutically active compound is selected from the group consisting of phosphate binders, steroids and anti-proliferative agents.

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22. The use of a compound according to any of claims 1-14, optionally together with another therapeutically active compound, in the manufacture of a medicament for the treatment or amelioration of diseases selected from the list consisting of diseases characterised by abnormal cell differentiation and/or cell proliferation, cancer,

10 leukemia, mammary cancer, brain glial cancer, osteosarcoma, melanoma, myelofibrosis, psoriasis, primary hyperparathyroidism, secondary hyperparathyroidism, secondary parathyroidism associated with renal failure, diabetes melitus, discoid and systemic lupus erythematosus, chronic dermatoses of autoimmune type, hypertension, acne, alopecia, skin aging, AIDS, neurodegenerative
15 disorders, Alzheimer's disease, host versus graft and graft versus host reactions, rejections of transplants, steroid induced skin atrophy and osteoporosis, and for inducing osteogenesis,.

23. The use according to claim 22, wherein said other therapeutically active
20 compound is selected from the group consisting of phosphate binders, steroids and anti-proliferative agents.